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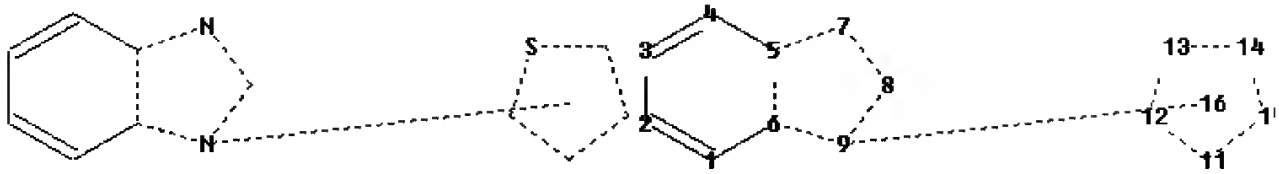

ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-15 12-13 13-14 14-15

exact/norm bonds :
5-6 5-7 6-9 7-8 8-9 11-12 11-15 12-13 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5
isolated ring systems :
containing 1 : 11 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

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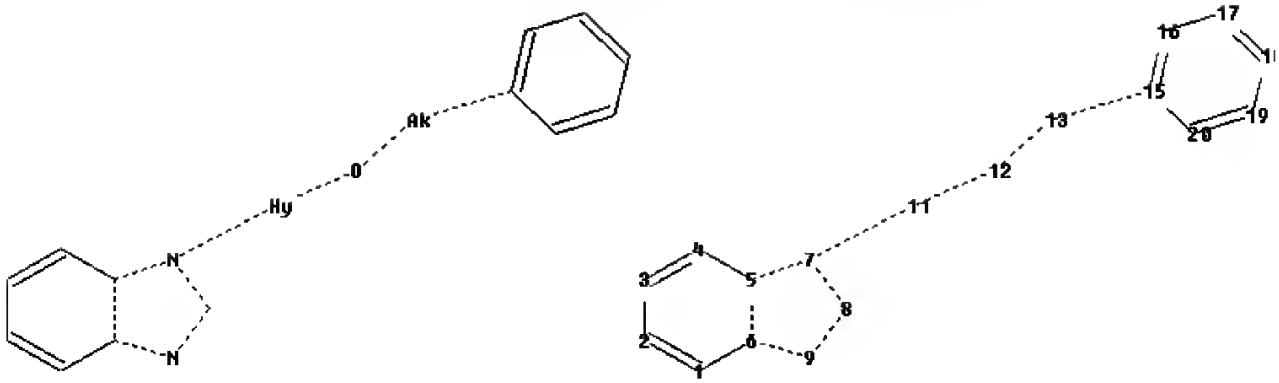

chain nodes :
11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
7-11 11-12 12-13 13-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20

```
exact/norm bonds :
5-6  5-7  6-9  7-8  7-11  8-9  11-12  12-13  13-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  15-16  15-20  16-17  17-18  18-19  19-20
isolated ring systems :
containing 1 : 15 :


Connectivity :
13:2 E exact RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  11:Atom
12:CLASS  13:CLASS  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom



L5       STRUCTURE UPLOADED


     FILE 'REGISTRY' ENTERED AT 16:14:10 ON 22 MAY 2008
L1               STRUCTURE UPLOADED
L3           967 S L1 SSS FULL

L5               STRUCTURE UPLOADED
L7           739 S L5 SSS FULL SUB=L3

     FILE 'CAPLUS' ENTERED AT 16:16:04 ON 22 MAY 2008
L8            10 S L7

     FILE 'REGISTRY' ENTERED AT 16:16:18 ON 22 MAY 2008

=> d l1
L1 HAS NO ANSWERS
L1               STR
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Structure attributes must be viewed using STN Express query preparation.


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L5 HAS NO ANSWERS
L5               STR
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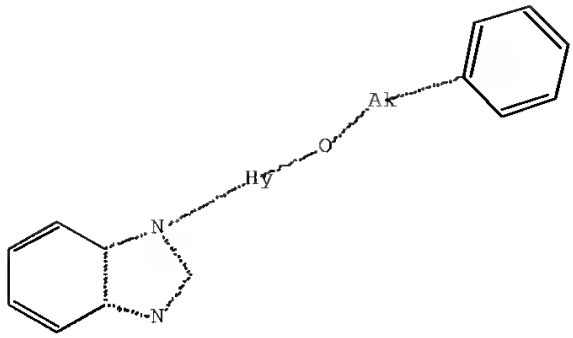

Structure attributes must be viewed using STN Express query preparation.

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             0 US200!-597828/PRN
L9           1 US200!-597828/APPS
                 (US200!-597828/AP,PRN)

=> s l8 and l9
L11          1 L8 AND L9

=> s l8 not l9
L12          9 L8 NOT L9

=> d l11 bib abs

L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2005:823697  CAPLUS  Full-text
DN   143:229853
TI   Preparation of benzimidazolyl substituted thiophene derivatives with
     activity against IKK3
IN   Bamborough, Paul; Morey, James Vaughan
PA   Glaxo Group Limited, UK
SO   PCT Int. Appl., 55 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2005075465         A1    20050818    WO 2005-EP1432          20050207
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             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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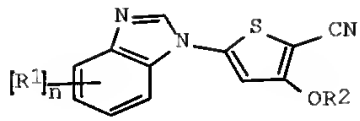
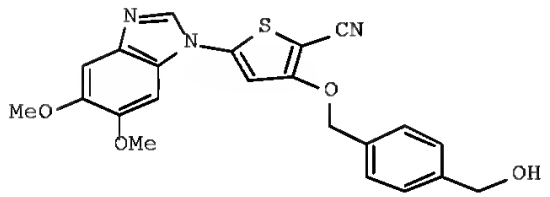
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

EP 1720864 A1 20061115 EP 2005-707356 20050207
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV
JP 2007522142 T 20070809 JP 2006-551827 20050207
US 20070149519 A1 20070628 US 2006-597828 20060809 <--
PRAI GB 2004-2809 A 20040209
WO 2005-EP1432 W 20050207
OS CASREACT 143:229853; MARPAT 143:229853
GI



I



II

AB The title compds. I [n = 0-4; R1 = H, halo, XaYbZ (wherein X = O, CONH, a = 0-1; Y = alkylene; b = 0-1; Z = OH, alkyl, haloalkyl, etc.); R2 = (X1)c(Y1)dZ1 (X1 = alkylene; c = 0-1; Y1 = O; d = 0-1; Z1 = H, aryl, heteroaryl, etc.)] which are potentially useful in the treatment of diseases associated with inappropriate I-kappa-B kinase-3 (IKK3) (also known as I-kappa-B kinase epsilon (IKKε) or inducible I-kappa B kinase (IKKi)) activity, were prepared Thus, treating a solution of 5-[5,6-bis(methoxy)-1H-benzimidazol-1-yl]-3-({[4-(hydroxymethyl)phenyl]methyl)oxy)-2-thiophenecarboxamide and pyridine in CH2Cl2 with trifluoroacetic anhydride at -10°C afforded II which showed pIC50 of >6.0 when tested in IKK3 assay. The pharmaceutical composition comprising the compound I is disclosed.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l12 tot bib abs hitstr

√ L12 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1420678 CAPLUS Full-text
DN 148:55071
TI Preparation of benzimidazolylthiophene benzyl ether compounds as PLK1 inhibitors
IN Kuntz, Kevin Wayne; Emerson, Holly Kathleen; Cheung, Mui; Badiang, Jennifer Gabriel
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 113pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	√	APPLICATION NO.	DATE
PI	WO 2007143506	A2	20071213		WO 2007-US70108	20070531
	WO 2007143506	A3	20080306			

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2006-810315P P √ 20060602

√ L12 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1420591 CAPLUS Full-text
DN 148:55070
TI Benzimidazole thiophene compounds and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Kuntz, Kevin; Emmitte, Kyle Allen; Rheault, Tara Renae; Smith, Stephon; Hornberger, Keith; Dickson, Hamilton; Cheung, Mui
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 303pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	√	APPLICATION NO.	DATE
PI	WO 2007143456	A2	20071213		WO 2007-US69879	20070529
	WO 2007143456	A3	20080214			

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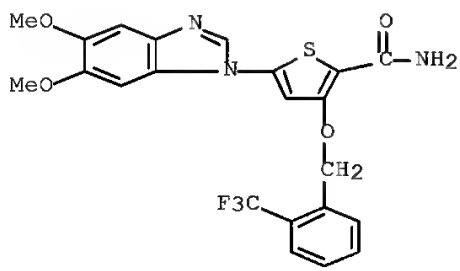
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2006-810526P P √ 20060602
OS MARPAT 148:55070
GI

√ L12 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:819365 CAPLUS Full-text
DN 147:359215
TI Pharmacological and Functional Comparison of the Polo-like Kinase Family: Insight into Inhibitor and Substrate Specificity
AU Johnson, Eric F.; Stewart, Kent D.; Woods, Keith W.; Giranda, Vincent L.; Luo, Yan
CS Cancer Research, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Biochemistry √ (2007), 46(33), 9551-9563
CODEN: BICHAW; ISSN: 0006-2960
PB American Chemical Society
DT Journal
LA English
AB PLK1 (polo-like kinase 1) is a key mitotic kinase and a therapeutic target in the treatment of proliferative diseases. Here we investigate the relative substrate specificity and pharmacol. relatedness of PLK1, -2, -3, and -4 that together comprise a conserved family of Ser/Thr kinases (PLK family). We report consensus substrate sequences for PLK2, -3, and -4 and an expanded consensus sequence for PLK1, which we use to design an optimal peptide substrate, PLKtide. We report inhibitory activity for the entire PLK family across a diverse set of small-mol. ATP-competitive inhibitors including several clin. compds. With respect to both substrate and ATP-site specificity, highest similarity is observed between PLK2 and PLK3, PLK1 is next most similar, and PLK4 is least similar. Further, we have identified and report time-dependent inhibition by two potent and selective PLK inhibitors.
IT 660868-91-7
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(insight into inhibitor and substrate specificity of polo-like kinase family)
RN 660868-91-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-(5,6-dimethoxy-1H-benzimidazol-1-yl)-3-[[2-(trifluoromethyl)phenyl]methoxy]- (CA INDEX NAME)



√ L12 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:284227 CAPLUS Full-text
DN 146:337892
TI Regioselective process for preparing benzimidazole thiophenes
IN Hornberger, Keith; Cheung, Mui; Pobanz, Mark Andrew; Emmitte, Kyle Allen;

Kuntz, Kevin Wayne; Badiang, Jennifer Gabriel
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 311pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	√ APPLICATION NO.	DATE
PI	WO 2007030366	A1	20070315	WO 2006-US33793	20060828
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	AU 2006287771	A1	20070315	AU 2006-287771	20060828
PRAI	US 2005-714301P	P	√ 20050906		
	WO 2006-US33793	W	20060828		

√ L12 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:283577 CAPLUS Full-text
DN 146:337898
TI Preparation of benzimidazolyl thiophene derivatives as PLK modulators
IN Cheung, Mui; Badiang, Jennifer Gabriel; Donaldson, Kelly Horne; Rheault, Tara Renae
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 80pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	√ APPLICATION NO.	DATE
PI	WO 2007030359	A1	20070315	WO 2006-US33616	20060828
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	EP 1922316	A1	20080521	EP 2006-790054	20060828
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IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR

PRAI US 2005-714303P P √ 20050906
WO 2006-US33616 W 20060828

√ L12 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:282094 CAPLUS Full-text

DN 146:337890

TI Preparation of thiophenyl benzimidazole derivatives for treatment of conditions mediated by polo-like kinases

IN Cheung, Mui; Emmitte, Kyle Allen; Salovich, James Michael

PA Smithkline Beecham Corp., USA

SO PCT Int. Appl., 107pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007030361	A2	20070315	WO 2006-US33683	20060828
WO 2007030361	A3	20070531		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006287766	A1	20070315	AU 2006-287766	20060828
US 20070270437	A1	20071122	√ US 2006-467577	20060828

PRAI US 2005-714337P P √ 20050906
US 2006-786244P P 20060327
WO 2006-US33683 W 20060828

√ L12 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:181791 CAPLUS Full-text

DN 146:414432

TI In vitro biological activity of a novel small-molecule inhibitor of polo-like kinase 1

AU Lansing, Timothy J.; McConnell, Randy T.; Duckett, Derek R.; Spehar, Glenn M.; Knick, Victoria B.; Hassler, Daniel F.; Noro, Nobuhiro; Furuta, Masaaki; Emmitte, Kyle A.; Gilmer, Tona M.; Mook, Robert A., Jr.; Cheung, Mui

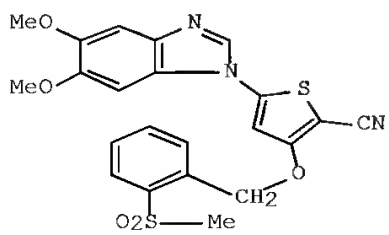
CS Oncology Biology, GlaxoSmithKline, Research Triangle Park, NC, USA

SO Molecular Cancer Therapeutics √ (2007), 6(2), 450-459
CODEN: MCTOCF; ISSN: 1535-7163

PB American Association for Cancer Research

DT Journal
LA English
AB Polo-like kinase 1 (PLK1) plays key roles in the regulation of mitotic progression, including mitotic entry, spindle formation, chromosome segregation, and cytokinesis. PLK1 expression and activity are strongly linked to proliferating cells. Many studies have shown that PLK1 expression is elevated in a variety of tumors, and high expression often correlates with poor prognosis. Using a variety of methods, including small-mol. inhibition of PLK1 function and/or activity, apoptosis in cancer cell lines, cell cycle arrest in normal cell lines, and antitumor activity in vivo have been observed In the present study, the authors have examined the in vitro biol. activity of a novel and selective thiophene benzimidazole ATP-competitive inhibitor of PLK1 and PLK3 (5-(5,6-dimethoxy-1H-benzimidazol-1-yl)-3-{[2-(trifluoromethyl)-benzyl]oxy}thiophene-2-carboxamide, called compound 1). Compound 1 has low nanomolar activity against the PLK1 and PLK3 enzymes and potently inhibits the proliferation of a wide variety of tumor cell lines. In the lung adenocarcinoma cell line NCI-H460, compound 1 induces a transient G2-M arrest, mitotic spindle defects, and a multinucleate phenotype resulting in apoptosis, whereas normal human diploid fibroblasts arrest in G2-M and show little apoptosis. The authors also describe a cellular mechanistic assay that was developed to identify potent intracellular inhibitors of PLK1. In addition to its potential as a therapeutic agent for treating cancer, compound 1 is also a useful tool mol. for further investigation of the biol. functions of PLK1 and PLK3.

√ L12 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1190032 CAPLUS Full-text
DN 146:54723
TI 5-(1H-Benzimidazol-1-yl)-3-alkoxy-2-thiophenecarbonitriles as potent, selective, inhibitors of IKK-ε kinase
AU Bamborough, Paul; Christopher, John A.; Cutler, Geoffrey J.; Dickson, Marion C.; Mellor, Geoffrey W.; Morey, James V.; Patel, Champa B.; Shewchuk, Lisa M.
CS Medicines Research Centre, GlaxoSmithKline R & D, Hertfordshire, SG1 2NY, UK
SO Bioorganic & Medicinal Chemistry Letters √ (2006), 16(24), 6236-6240
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 146:54723
GI



I

AB The identification and hit-to-lead exploration of a novel, potent and selective series of substituted benzimidazole-thiophene carbonitrile inhibitors of IKK-ε kinase is described. Compound 12e (I) was identified with an IKK-ε enzyme potency of pIC50 7.4, and has a highly encouraging wider selectivity profile, including selectivity within the IKK kinase family.

L12 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:143141 CAPLUS Full-text
DN 140:199325
TI Preparation of benzimidazolyl substituted thiophenes as Polo like kinases (PLK) inhibitors for treating cancer
IN Andrews, Clarence W., III; Cheung, Mui; Davis-Ward, Ronda G.; Drewry, David Harold; Emmitte, Kyle Allen; Hubbard, Robert Dale; Kuntz, Kevin W.; Linn, James Andrew; Mook, Robert Anthony; Smith, Gary Keith; Veal, James Marvin
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014899	A1	20040219	WO 2003-US24272	20030804
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	CA 2493908	A1	20040219	CA 2003-2493908	20030804
	AU 2003265348	A1	20040225	AU 2003-265348	20030804
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	EP 1546137	A1	20050629	EP 2003-784888	20030804
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	BR 2003013160	A	20050712	BR 2003-13160	20030804
	CN 1688576	A	20051026	CN 2003-823755	20030804
	JP 2006505522	T	20060216	JP 2004-527723	20030804
	NZ 538134	A	20060331	NZ 2003-538134	20030804
	RU 2296758	C2	20070410	RU 2005-102390	20030804
	ZA 2005000864	A	20060426	ZA 2005-864	20050128
	NO 2005000525	A	20050506	NO 2005-525	20050131
	US 20060074119	A1	20060406	***US 2005-522958***	20050131
	MX 2005PA01544	A	20050419	MX 2005-PA1544	20050208
	IN 2005KN00321	A	20060106	IN 2005-KN321	20050302
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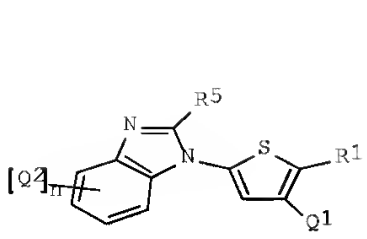
OS MARPAT 140:199325

GI



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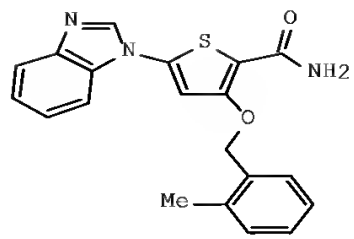
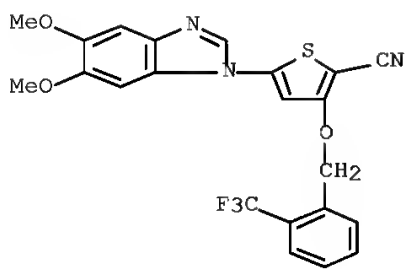


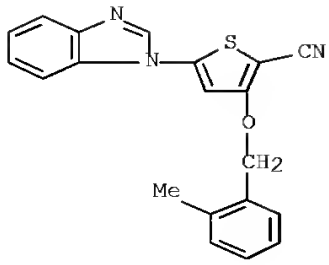
II

AB The title compds. [I; R1 = H, alkyl, COR7, CO2R7, etc.; Q1 = OCH2Ph, NHCH2Ph (both substituted on Ph ring), etc.; n = 0-4; Q2 = OMe, Cl, Br, etc.; R5 = H, halo, alkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.], useful for treating a condition mediated by PLK, were prepared E.g., a multi-step synthesis of II which showed pIC50 of > 7 in assay for inhibition of PLK1, was given. The pharmaceutical composition comprising the title compds. I is claimed.

RN 660869-82-9 CAPLUS
CN 2-Thiophenecarbonitrile, 5-(5,6-dimethoxy-1H-benzimidazol-1-yl)-3-[[2-(trifluoromethyl)phenyl]methoxy]- (CA INDEX NAME)



RN 660868-54-2 CAPLUS
CN 2-Thiophenecarbonitrile, 5-(1H-benzimidazol-1-yl)-3-[(2-methylphenyl)methoxy]- (CA INDEX NAME)



SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 16:17:42 ON 22 MAY 2008